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(54) Title: NON-STEROIDAL FARNESOID X RECEPTOR MODULATORS AND METHODS FOR THE USE THEREOF

(57) Abstract: The efficient regulation of cholesterol synthesis, metabolism, acquisition, and transport is an essential component of lipid homeostasis. The farnesoid X receptor (FXR) is a transcriptional sensor for bile acids, the primary product of cholesterol metabolism. Accordingly, the development of potent, selective, small molecule agonists, partial agonists, and antagonists of FXR would be an important step in further deconvoluting FXR physiology. In accordance with the present invention, the identification of novel potent FXR activators is described. Two derivatives of invention compounds, bearing stilbene or biaryl moieties, contain members that are the most potent FXR agonists reported to date in cell-based assays. These compounds are useful as chemical tools to further define the physiological role of FXR as well as therapeutic leads for the treatment of diseases linked to cholesterol, bile acids and their metabolism and homeostasis.

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# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/36137

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/16, 31/33, 31/35, 31/21

US CL : 514/613, 456, 183,506

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/613, 456, 183,506

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
CAPLUS MEDLINE search terms: chemical structural search, Farnesoid X receptor, hypercholestermia.

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 00/37077 A1 (GLAXO GROUP LIMITED) 29 June 2000 (29.06.2000). see the entire document, particularly, the abstract and the claims.	1-37
A	WO 00/76523 A1 (ALLERGAN SALES, INC.) 21 December 2000 (21.12.2000). see entire document.	1-37

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

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